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(71) Applicant *(for all designated States except US)*: FUJISAWA PHARMACEUTICAL CO., LTD. [JP/JP]; 4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka 541 (JP).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: TERASAWA, Takeshi [JP/JP]; 1625-302, Matsugaokanakamachi, Kawachinagano-shi, Osaka 586 (JP). TANAKA, Akira [JP/JP]; 9-10-302, Nakano-cho, Takarazuka-shi, Hyogo 665 (JP). CHIBA, Toshiyuki [JP/JP]; 1-1-503, Nakatsuji-cho, Nara-shi, Nara 630 (JP). TAKASUGI, Hisashi [JP/JP]; 3-116-10, Mozu Umekita, Sakai-shi, Osaka 591 (JP).

(74) Agent: SEKI, Hideo; Fujisawa Pharmaceutical Co., Ltd., Osaka Factory, 1-6, Kashima 2-chome, Yodogawa-ku, Osaki-shi, Osaka 532 (JP).

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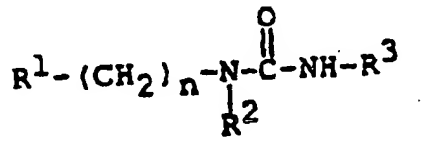
(54) Title: UREA DERIVATIVES AND THEIR USE AS ACAT-INHIBITORS

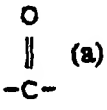
(57) Abstract

Urea derivatives of formula (I), wherein R^1 is a group of formula (1) (in which R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and Y is bond, lower alkylene, -S-, -O-, (a), -CH-, -CONH-, (b), (in which R^7 is lower alkyl), -$NHSO_2$-, -SO_2NH-, -SO_2NHCO- or -$CONHSO_2$-); or thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s); R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl, R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable substituent(s), and n is 0 or 1, and a pharmaceutically acceptable salt thereof which are useful as a medicament in the treatment of hypercholesterolemia, hyperlipidemia and atherosclerosis.

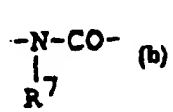


(I)

(1)



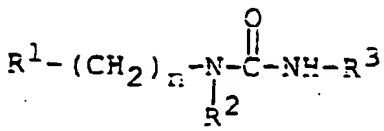
(a)



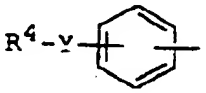
(b)

C L A I M S

1. A compound of the formula :



wherein
R^1 is a group of the formula :



(in which
R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and

Y is bond, lower alkylene, -S-, -O-, , =CH-, -CONH-, , -NHSO$_2$-, -SO$_2$NH-, -SO$_2$NHCO- or -CONHSO$_2$-);
or
thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s);
R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl,
R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable

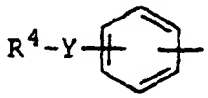
substituent(s), and

n is 0 or 1,

and a pharmaceutically acceptable salt thereof.

2. A compound of claim 1, wherein

R^1 is a group of the formula :



(in which

R^4 is phenyl which may have 1 to 3 substituent(s) selected from the group consisting of halogen, lower alkyl, di(lower)alkylamino, protected amino, cyano, heterocyclic group which may have mono(or di or tri)-ar(lower)alkyl, hydroxy, protected hydroxy and mono(or di or tri)halo(lower)alkyl; or thienyl, pyrazolyl, imidazolyl, triazolyl, pyridyl, pyrrolyl, tetrazolyl, oxazolyl, thiazolyl, oxadiazolyl, piperazinyl, thiazolidinyl or methylenedioxyphenyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of lower alkyl, mono(or di or tri)ar(lower)alkyl and oxo;

Y is bond, lower alkylene, -S-, -O-, $-\overset{\overset{O}{\|}}{C}-$, =CH-, -CONH-, $-\underset{\underset{R^7}{|}}{N}-CO-$ (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or

thiazolyl, imidazolyl, pyrazolyl, pyridyl,